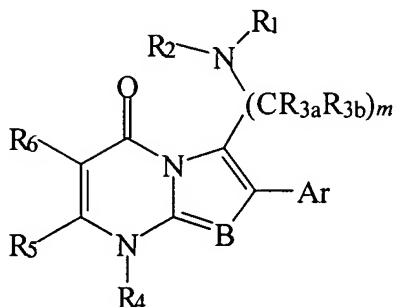


## Claims

We claim:

1. A compound having the following structure:



and stereoisomers, prodrugs and pharmaceutically acceptable salts thereof,

wherein:

$m$  is an integer from 1 to 6;

$R_1$  is hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, substituted heteroarylalkyl, aryl( $CR_{3c}R_{3d}$ ) $_n$ , substituted aryl( $CR_{3c}R_{3d}$ ) $_n$ , heteroaryl( $CR_{3c}R_{3d}$ ) $_n$  or substituted heteroaryl( $CR_{3c}R_{3d}$ ) $_n$ ;

$R_2$  is hydrogen, alkyl or substituted alkyl;

or  $R_1$  and  $R_2$  taken together with the nitrogen atom to which they are attached form a heterocycle ring or a substituted heterocycle ring;

$R_{3a}$ ,  $R_{3b}$ ,  $R_{3c}$  and  $R_{3d}$  are the same or different and independently at each occurrence hydrogen, alkyl, substituted alkyl, hydroxy, alkoxy, thioalkyl, amino, alkylamino, dialkylamino, cyano, halogen,  $-C(=O)OR_7$  or  $-C(=O)NR_7R_8$ ;

or  $R_{3a}$  and  $R_{3b}$ , or  $R_{3c}$  and  $R_{3d}$ , taken together with the carbon atom to which they are attached form a carbocyclic ring or substituted carbocyclic ring;

or  $R_{3a}$  and  $R_1$ , taken together with the carbon atom and nitrogen atom, respectively, to which they are attached form a heterocyclic ring or substituted heterocyclic ring;

R<sub>4</sub> is hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl or substituted heteroarylalkyl;

R<sub>5</sub> is hydrogen, halogen, cyano, alkyl, substituted alkyl, hydroxy, alkoxy, thioalkyl or mono- or di-alkylamine;

R<sub>6</sub> is hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, heterocycle, substituted heterocycle, -OR<sub>7</sub>, -SR<sub>7</sub>, -SOR<sub>7</sub>, -SO<sub>2</sub>R<sub>7</sub>, -OSO<sub>2</sub>R<sub>7</sub>, -SO<sub>2</sub>OR<sub>7</sub>, -SO<sub>2</sub>NR<sub>7</sub>R<sub>8</sub>, -NR<sub>9</sub>SO<sub>2</sub>R<sub>7</sub>, -C(=O)R<sub>7</sub>, -C(=O)OR<sub>7</sub>, -OC(=O)R<sub>7</sub>, -NR<sub>7</sub>R<sub>8</sub> -C(=O)NR<sub>7</sub>R<sub>8</sub>, -OC(=O)NR<sub>7</sub>R<sub>8</sub>, -NR<sub>9</sub>C(=O)R<sub>7</sub>, -NR<sub>9</sub>C(=O)NR<sub>7</sub>R<sub>8</sub>, -NR<sub>8</sub>C(=O)OR<sub>7</sub> or -C(OH)R<sub>7</sub>R<sub>8</sub>;

R<sub>7</sub>, R<sub>8</sub> and R<sub>9</sub> are the same or different and independently hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl or substituted heteroarylalkyl;

or R<sub>7</sub> and R<sub>8</sub> taken together with the nitrogen atom to which they are attached form a heterocycle ring or a substituted heterocycle ring;

*n* is an integer from 1 to 6; and

B and Ar are as follows:

B is nitrogen or CR<sub>10</sub> when Ar is heteroaryl or substituted heteroaryl and R<sub>10</sub> is hydrogen; or

B is CR<sub>10</sub> when Ar is aryl, substituted aryl, heteroaryl or substituted heteroaryl and R<sub>10</sub> is halogen, cyano, nitro, amino, mono- or di-alkylamino or alkyl.

2. The compound of claim 1 wherein

B is nitrogen or CR<sub>10</sub>;

R<sub>10</sub> is hydrogen; and

Ar is heteroaryl or substituted heteroaryl.

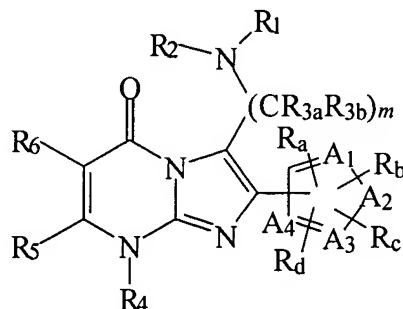
3. The compound of claim 1 wherein

B is CR<sub>10</sub>;

R<sub>10</sub> is halogen, cyano, nitro, amino, mono- or di-alkylamino or alkyl; and

Ar is aryl, substituted aryl, heteroaryl or substituted heteroaryl.

4. The compound of claim 2 wherein B is nitrogen and Ar is heteroaryl.
5. The compound of claim 4 having the following structure:



wherein

$A_1$ ,  $A_3$  and  $A_4$  are the same or different and independently nitrogen or CH;

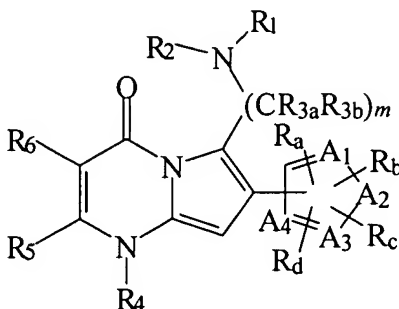
$A_2$  is oxygen, sulfur, NH, N=N or N=CH; and

$R_a$ ,  $R_b$ ,  $R_c$  and  $R_d$  are optional substituents that are the same or different and independently halogen, nitro, cyano, alkyl, substituted alkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, substituted heteroarylalkyl, hydroxy, alkoxy, aryloxy, thiol, thioalkyl, thioaryl, sulfonylalkyl, sulfonylaryl, amino, mono- or di-alkylamino, mono- or di-arylamino, -COOalkyl, -COOaryl, -CONHalkyl, -CONHaryl, -CON(alkyl)<sub>2</sub>, -CON(aryl)<sub>2</sub>, -NHCOalkyl, -NHCOaryl, -N(alkyl)COalkyl, -N(alkyl)COaryl, -NHSO<sub>2</sub>alkyl, -NHSO<sub>2</sub>aryl, N(alkyl)SO<sub>2</sub>alkyl, -N(alkyl)SO<sub>2</sub>aryl, -NHCONHalkyl or -NHCONHaryl;

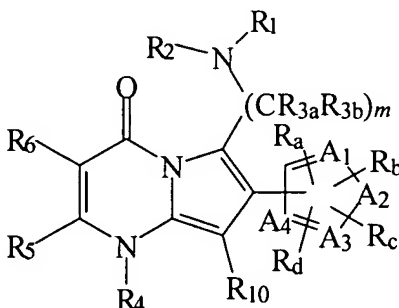
or  $R_a$  and  $R_b$  taken together with the atoms to which they are attached form aryl, substituted aryl, heteroaryl or substituted heteroaryl.

6. The compound of claim 2 wherein B is CR<sub>10</sub>, Ar is heteroaryl, and R<sub>10</sub> is hydrogen.

7. The compound of claim 6 having the following structure:



8. The compound of claim 3 wherein B is CR<sub>10</sub> and Ar is heteroaryl.
9. The compound of claim 8 having the following structure:

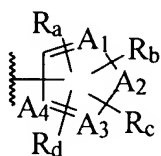


10. The compound of claim 1 wherein R<sub>1</sub> is arylalkyl, substituted arylalkyl or heteroarylalkyl.
11. The compound of claim 10 wherein aralkyl is benzyl and substituted arylalkyl is substituted benzyl.
12. The compound of claim 10 wherein heteroarylalkyl is -CH<sub>2</sub>(heteroaryl) or -CH<sub>2</sub>CH<sub>2</sub>(heteroaryl).
13. The compound of claim 1 wherein R<sub>2</sub> is alkyl.

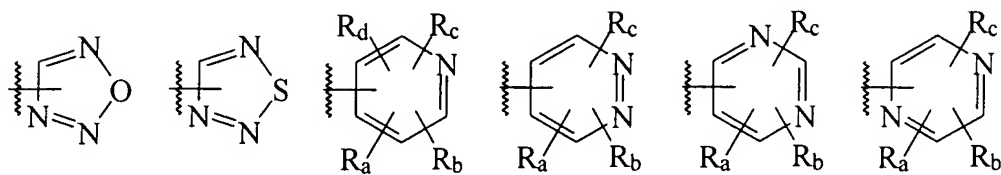
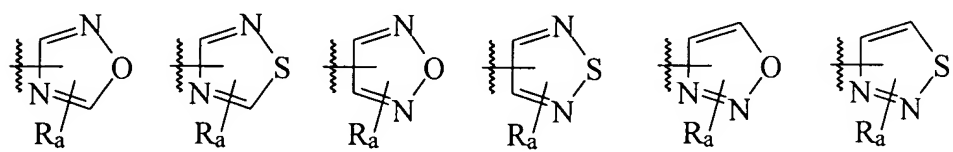
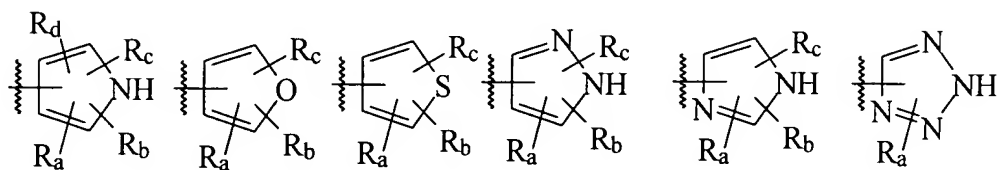
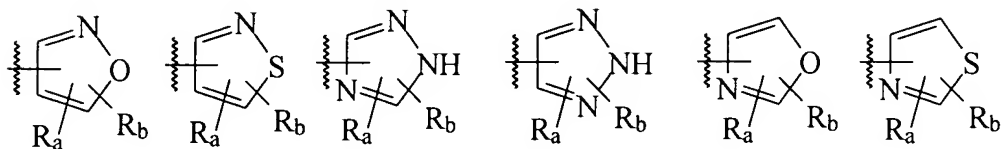
14. The compound of claim 13 wherein alkyl is methyl.
15. The compound of claim 1 wherein  $R_1$  and  $R_2$  taken together with the nitrogen atom to which they are attached form a heterocycle or substituted heterocycle.
16. The compound of claim 1 wherein  $R_{3a}$  is hydrogen.
17. The compound of claim 1 wherein  $R_{3b}$  is hydrogen.
18. The compound of claim 16 wherein  $R_{3b}$  is hydrogen.
19. The compound of claim 1 where  $m$  is 1.
20. The compound of claim 1 wherein  $R_4$  is arylalkyl or substituted arylalkyl.
21. The compound of claim 14 wherein arylalkyl or substituted arylalkyl is benzyl or substituted benzyl.
22. The compound of claim 1 wherein  $R_5$  is hydrogen.
23. The compound of claim 1 wherein  $R_6$  is  $-C(=O)OR_7$ .
24. The compound of claim 23 wherein  $R_7$  is alkyl.
25. The compound of claim 1 wherein  $R_6$  is  $-C(=O)NR_7R_8$ .
26. The compound of claim 13 wherein  $R_7$  and  $R_8$  are the same or different and independently alkyl or substituted alkyl.

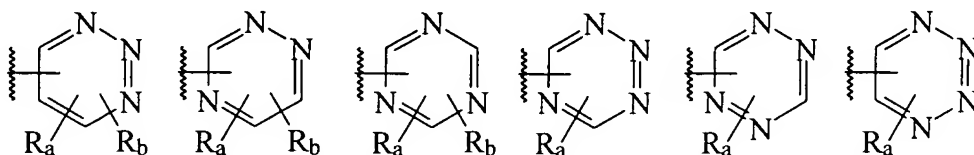
27. The compound of claim 25 wherein  $R_7$  and  $R_8$  taken together with the nitrogen atom to which they are attached form a heterocycle or substituted heterocycle.

28. The compound of any one of claims 5, 7 or 9 wherein the heteroaryl moiety



has one of the following structures:





29. The compound of claim 1 wherein  $R_{10}$  is halogen or cyano.

30. The compound of claim 1 wherein the compound is:

2-(2,5-Dimethylfuran-3-yl)-3-[N-methyl-(2-pyridylethyl)]aminomethyl-5-(3-pentoxycarbonyl)-7-(2-fluorobenzyl)imidazolo[1,2-a]pyrimid-4-one;

2-(1-Methylpyrrol-3-yl)-3-{N-[2-(2-pyridyl)ethyl]-N-methylaminomethyl}-5-(3-methoxyphenyl)-6-methyl-7-(2-fluorophenylmethyl)imidazolo[1,2-a]pyrimid-4-one;

2-(Thiophen-2-yl)-3-{N-[2-(2-pyridyl)ethyl]-N-methylaminomethyl}-5-(3-methoxyphenyl)-6-methyl-7-(2-fluorophenylmethyl)imidazolo[1,2-a]pyrimid-4-one;

2-(2,5-Dimethylfur-3-yl)-3-{N-[2-(2-pyridyl)ethyl]-N-methylaminomethyl}-5-(3-methoxyphenyl)-6-methyl-7-(2-fluorophenylmethyl)imidazolo[1,2-a]pyrimid-4-one;

2-(Pyrid-3-yl)-3-{N-[2-(2-pyridyl)ethyl]-N-methylaminomethyl}-5-(3-methoxyphenyl)-6-methyl-7-(2-fluorophenylmethyl)imidazolo[1,2-a]pyrimid-4-one;

1-[N-Methyl-(2-pyridylethyl)]aminomethyl-2-(4-methoxyphenyl)-3-cyano-4-(2-fluorobenzyl)-6-ethoxycarbonylpyrrolo[1,2-a]pyrimid-7-one;

1-[N-Methyl-(2-pyridylethyl)]aminomethyl-2-(2,5-dimethylfuran-3-yl)-4-(2-fluorobenzyl)-6-(3-pentoxycarbonyl)pyrrolo[1,2-a]pyrimid-7-one;

1-(N-Benzyl-N-methyl)aminomethyl-2-(4-methoxyphenyl)-3-cyano-4-(2-fluorobenzyl)-6-ethoxycarbonylpyrrolo[1,2-a]pyrimid-7-one;

1-(N-Benzyl-N-methyl)aminomethyl-2-(4-methoxyphenyl)-3-cyano-4-(2-cyanobenzyl)-6-ethoxycarbonylpyrrolo[1,2-a]pyrimid-7-one;

1-(N-Benzyl-N-methyl)aminomethyl-2-(4-methoxyphenyl)-3-cyano-4-(2-methoxybenzyl)-6-ethoxycarbonylpyrrolo[1,2-a]pyrimid-7-one;

1-(N-Benzyl-N-methyl)aminomethyl-2-(4-methoxyphenyl)-3-cyano-4-(2,4-difluorobenzyl)-6-ethoxycarbonylpyrrolo[1,2-a]pyrimid-7-one;

1-(N-Benzyl-N-methyl)aminomethyl-2-(4-isobutoxyphenyl)-3-cyano-4-(2-fluorobenzyl)-6-ethoxycarbonylpyrrolo[1,2-a]pyrimid-7-one;

1-[N-Methyl-(2-pyridylethyl)]aminomethyl-2-(2,5-dimethylfuran-3-yl)-4-(2-fluorobenzyl)-6-(3-pentoxycarbonyl)pyrrolo[1,2-a]pyrimid-7-one;

1-[N-Methyl-(2-pyridylethyl)]aminomethyl-2-(2,5-dimethylfuran-3-yl)-4-(2-fluorobenzyl)-6-(3-pentoxycarbonyl)imidazolo[1,2-a]pyrimid-7-one;

1-(N-Benzyl-N-methyl)aminomethyl-2-(4-isobutoxyphenyl)-3-fluoro-4-(2-fluorobenzyl)-6-ethoxycarbonylpyrrolo[1,2-a]pyrimid-7-one; or

1-[N-Methyl-(2-pyridylethyl)]aminomethyl-2-(4-isobutoxyphenyl)-3-fluoro-4-(2-fluorobenzyl)-6-ethoxycarbonylpyrrolo[1,2-a]pyrimid-7-one.

31. A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

32. A method for antagonizing gonadotropin-releasing hormone in a subject in need thereof, comprising administering to the subject an effective amount of the compound of claim 1.

33. A method for treating a sex-hormone related condition of a subject in need thereof, comprising administering to the subject an effective amount of the pharmaceutical composition of claim 31.

34. The method of claim 33 wherein the sex-hormone related condition is cancer, benign prostatic hypertrophy or myoma of the uterus.

35. The method of claim 34 wherein the cancer is prostatic cancer, uterine cancer, breast cancer or pituitary gonadotroph adenomas.



36. The method of claim 33 wherein the sex-hormone related condition is endometriosis, polycystic ovarian disease, uterine fibroids or precocious puberty.

37. A method for preventing pregnancy of a subject in need thereof, comprising administering to the subject an effective amount of the pharmaceutical composition of claim 31.

38. A method for treating lupus erythematosus, irritable bowel syndrome, premenstrual syndrome, hirsutism, short stature or sleep disorders in a subject in need thereof, comprising administering to the subject an effective amount of the pharmaceutical composition of claim 31.